TITLE: Preparation of aliphatic nitrogenous five-membered ring compounds as dipeptidyl peptidase IV inhibitors

INVENTOR(S): Yasuda, Kosuke; Morimoto, Hiroshi; Kawanami, Saburo; Hikota, Masataka; Matsumoto, Takeshi; Arakawa, Kenji

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

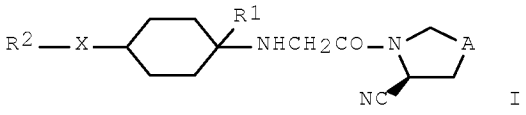
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030891	A1	20020418	WO 2001-JP8803	20011005
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001094197	A	20020422	AU 2001-94197	20011005
JP 2002356471	A	20021213	JP 2001-309558	20011005
JP 2002356472	A	20021213	JP 2001-309559	20011005
CA 2424600	A1	20030402	CA 2001-2424600	20011005
CA 2424600	C	20081202		
BR 2001014436	A	20030701	BR 2001-14436	20011005
EP 1325910	A1	20030709	EP 2001-974717	20011005
EP 1325910	B1	20080917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1468216	A	20040114	CN 2001-816674	20011005
CN 1257891	C	20060531		
HU 2003003391	A2	20040301	HU 2003-3391	20011005
HU 2003003391	A3	20040428		
AU 2001294197	B2	20040916	AU 2001-294197	20011005
NZ 524974	A	20051028	NZ 2001-524974	20011005
CN 1280269	C	20061018	CN 2001-816957	20011005
CN 1891689	A	20070110	CN 2006-10077863	20011005
TW 290919	B	20071211	TW 2001-90124638	20011005
IL 154893	A	20080413	IL 2001-154893	20011005
AT 407924	T	20080915	AT 2001-974716	20011005
AT 408597	T	20081015	AT 2001-974717	20011005
ES 2312471	T3	20090301	ES 2001-974716	20011005
ES 2312472	T3	20090301	ES 2001-974717	20011005
IN 2003KN00303	A	20050311	IN 2003-KN303	20030312
ZA 2003002030	A	20030926	ZA 2003-2030	20030313
NO 324519	B1	20071112	NO 2003-1490	20030402
MX 2003003007	A	20030714	MX 2003-3007	20030404
US 20040063935	A1	20040401	US 2003-398486	20030404
US 6849622	B2	20050201		
JP 2004035574	A	20040205	JP 2003-368572	20031029
US 20040229926	A1	20041118	US 2004-872442	20040622
US 7160877	B2	20070109		
AU 2004237882	A1	20050106	AU 2004-237882	20041213
JP 2005200427	A	20050728	JP 2005-105732	20050401

PRIORITY APPLN. INFO.:			
	JP 2000-308528	A	20001006
	JP 2000-312562	A	20001012
	JP 2001-99251	A	20010330

CN	2001-816674	A3 20011005
JP	2001-309558	A3 20011005
JP	2001-309559	A3 20011005
WO	2001-JP8803	W 20011005
US	2003-398486	A3 20030404

OTHER SOURCE(S): MARPAT 136:325560

GI



I

AB Aliphatic nitrogenous five-membered ring compds., (S)-N-(N-cyclohexylglycyl)pyrrolidine-2-carbonitrile and (R)-N-(N-cyclohexylglycyl)thiazolidine-2-carbonitrile, of the general formula (I) or pharmacol. acceptable salts thereof [wherein A is CH2 or S; R1 is hydrogen, lower alkyl, hydroxy-lower alkyl, or lower alkoxy-lower alkyl; X is N(R3), O, or CO; R3 is hydrogen or lower alkyl; and R2 is an optionally substituted mono- or bicyclic hydrocarbyl or heterocyclyl group or optionally substituted amino] are prepared These compds. are useful as dipeptidyl peptidase IV inhibitors for the prevention or treatment of diabetes, in particular type II diabetes (no data). Thus, a solution of (S)-1-bromoacetyl-2-cyanopyrrolidine and N-(5-nitro-2-pyridyl)-trans-1,4-cyclohexanediamine in MeOH/MeCN was stirred at room temperature for 15 h to give, after treatment with 2 N HCl/Et2O in EtOAc/CHCl3, (S)-2-cyano-1-[[[trans-4-(5-nitro-2-pyridylamino)cyclohexyl]amino]acetyl]pyrrolidine dihydrochloride.

IT 412915-48-1P

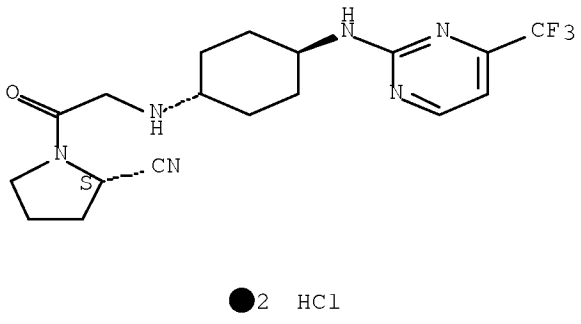
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (S)-N-(N-cyclohexylglycyl)pyrrolidine-2-carbonitriles and (R)-N-(N-cyclohexylglycyl)thiazolidine-2-carbonitriles as dipeptidyl peptidase IV inhibitors for prevention or treatment of diabetes)

RN 412915-48-1 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[2-[[trans-4-[[4-(trifluoromethyl)-2-pyrimidinyl]amino]cyclohexyl]amino]acetyl]-, hydrochloride (1:2), (2S)- (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:923757 CAPLUS Full-text
DOCUMENT NUMBER: 136:37503
TITLE: Preparation of N-glycyl-2-cyanopyrrolidines as DPP IV inhibitors